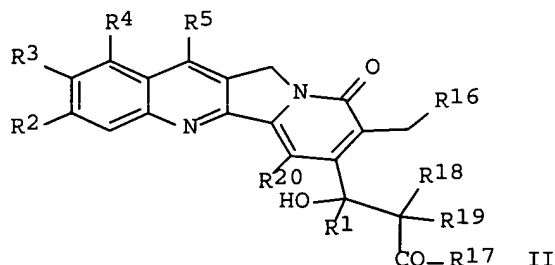
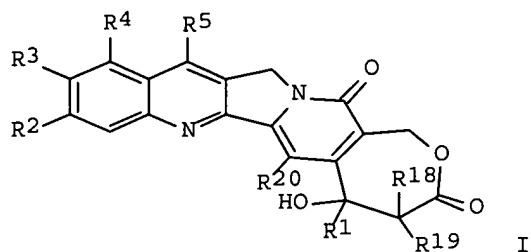


L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2000 ACS
 AN 1998:550712 CAPLUS
 DN 129:136346
 TI Preparation of camptothecin analogs as antitumors, antivirals, and parasiticides
 IN Bigg, Dennis; Lavergne, Olivier; Pla Rodas, Francesc; Pommier, Jacques; Ulibarri, Gerard
 PA Societe de Conseils de Recherches et d'Applications Scientifiques SCRAS S.A, Fr.
 SO Fr. Demande, 88 pp.
 CODEN: FRXXBL
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2757514	A1	19980626	FR 1996-15774	19961220
	FR 2757514	B1	19990212		
	WO 9828305	A1	19980702	WO 1997-FR2218	19971205
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9853265	A1	19980717	AU 1998-53265	19971205
	EP 946567	A1	19991006	EP 1997-950236	19971205
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	NO 9902998	A	19990818	NO 1999-2998	19990618
PRAI	FR 1996-15774		19961220		
	WO 1997-FR2218		19971205		
OS	MARPAT 129:136346				
GI					



AB Title compds. I and II [R1 = alkyl, alkenyl, alkynyl, etc.; R2, R3, R4 = H, halo, haloalkyl, alkyl, alkenyl, cyano, etc.; R5 = H, halo, haloalkyl, alkyl, alkoxy, alkoxyalkyl, etc.; R16 = H, OH, acyloxy; R17 = OR6, NR6R7; R6, R7 = H, alkyl, hydroxyalkyl, alkylaminoalkyl, etc.; R18, R19 = H,

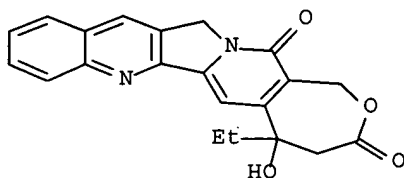
halo, alkyl, alkoxy, OH; R20 = H, halo] are prepd. Thus, 8-formyloxymethyl-7-propionylindolizino[1,2-b]quinolin-9(11)-one, obtained in 2 steps via NaBH4 redn. of (S)-(+)-camptothecin and subsequent oxidative ring cleavage, reacted with tert-Bu bromoacetate in Et2O and THF contg. Zn and chlorotrimethylsilane to give the title compd. tert-Bu.beta.-ethyl-.beta.-hydroxy-.gamma.-(8-hydroxymethyl-9-oxo-11H-indolizino[1,2-b]quinolin-7-yl)propionate. In an in vitro study, 5-ethyl-4,5-dihydro-5-hydroxy-1H-oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15(4H,13H)-dione (also prepd.) at 10 .mu.M effected ca. 58% redn. in the proliferation of L1210.

IT 186668-40-6P 186668-44-0P 186668-63-3P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of camptothecin analogs as antitumors, antivirals, and parasiticides)

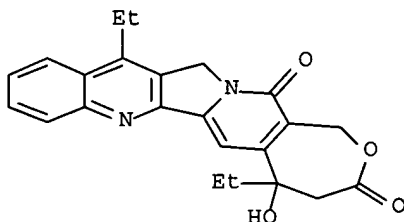
RN 186668-40-6 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione, 5-ethyl-1,4,5,13-tetrahydro-5-hydroxy- (9CI) (CA INDEX NAME)



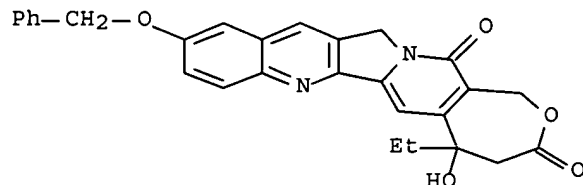
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CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione, 5,12-diethyl-1,4,5,13-tetrahydro-5-hydroxy- (9CI) (CA INDEX NAME)



RN 186668-63-3 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione, 5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT 186668-59-7P 186668-65-5P 186668-

67-7P

186668-68-8P 186668-69-9P 186668-70-2P
186668-71-3P 186668-72-4P 186668-73-5P
186668-74-6P 186668-75-7P 186668-77-9P
186668-79-1P 186668-90-6P 186668-94-0P
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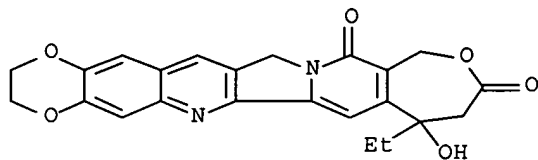
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 210563-45-4P 210563-47-6P 210563-49-8P
 210563-51-2P 210563-53-4P 210563-55-6P
 210563-57-8P 210563-60-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of camptothecin analogs as antitumors, antivirals, and parasiticides)

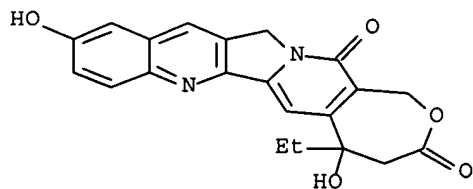
RN 186668-59-7 CAPLUS

CN 10H,13H-1,4-Dioxino[2,3-g]oxepino[3',4':6,7]indolizino[1,2-b]quinoline-10,13-dione, 8-ethyl-2,3,8,9,12,15-hexahydro-8-hydroxy- (9CI) (CA INDEX NAME)



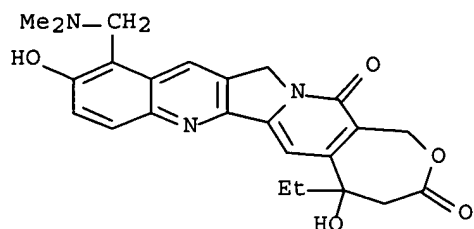
RN 186668-65-5 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione, 5-ethyl-1,4,5,13-tetrahydro-5,10-dihydroxy- (9CI) (CA INDEX NAME)



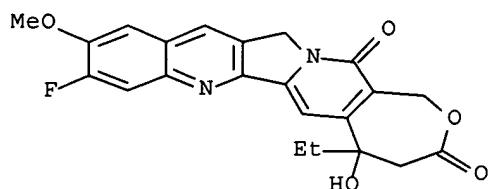
RN 186668-67-7 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione, 11-[(dimethylamino)methyl]-5-ethyl-1,4,5,13-tetrahydro-5,10-dihydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

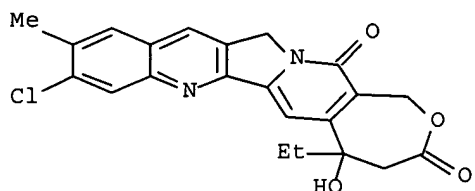


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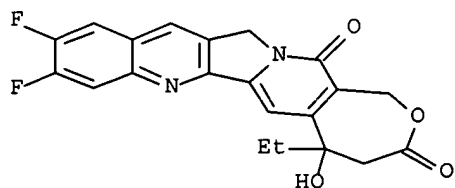
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 INDEX NAME)



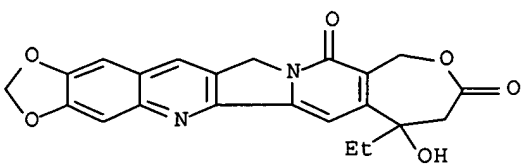
RN 186668-69-9 CAPLUS
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 9-chloro-5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methyl- (9CI) (CA
 INDEX NAME)



RN 186668-70-2 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 5-ethyl-9,10-difluoro-1,4,5,13-tetrahydro-5-hydroxy- (9CI) (CA INDEX
 NAME)

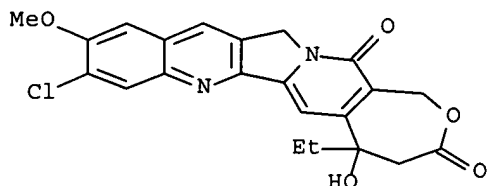


RN 186668-71-3 CAPLUS
 CN 9H,12H-1,3-Dioxolo[4,5-g]oxepino[3',4':6,7]indolizino[1,2-b]quinoline-
 9,12-dione, 7-ethyl-7,8,11,14-tetrahydro-7-hydroxy- (9CI) (CA INDEX
 NAME)



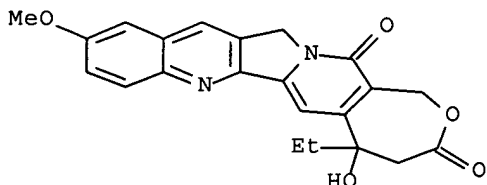
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CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
9-chloro-5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methoxy- (9CI) (CA
INDEX NAME)



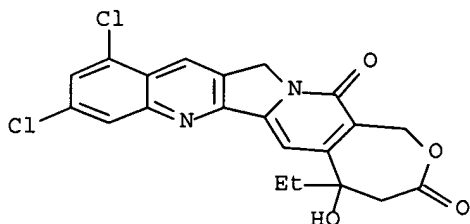
RN 186668-73-5 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methoxy- (9CI) (CA INDEX NAME)



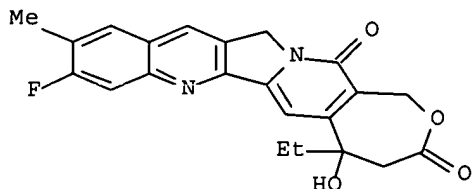
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NAME)



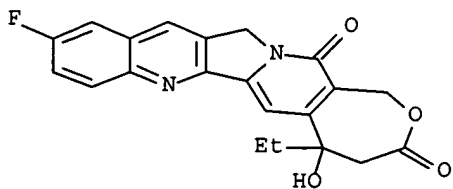
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CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-hydroxy-10-methyl- (9CI) (CA
INDEX NAME)



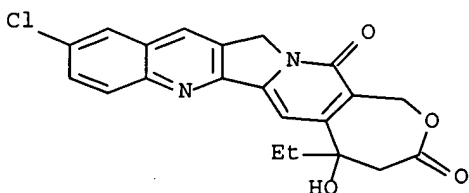
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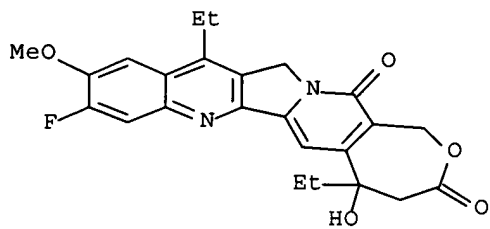
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10-chloro-5-ethyl-1,4,5,13-tetrahydro-5-hydroxy- (9CI) (CA INDEX NAME)



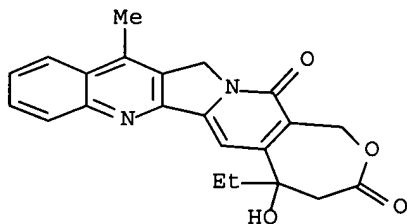
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CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
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(CA INDEX NAME)



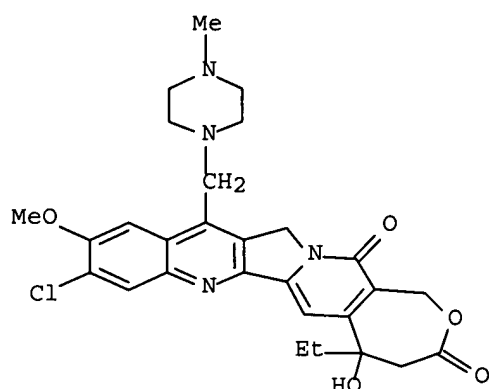
RN 186668-94-0 CAPLUS

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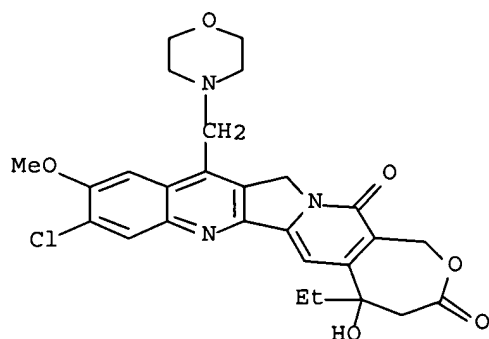
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1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



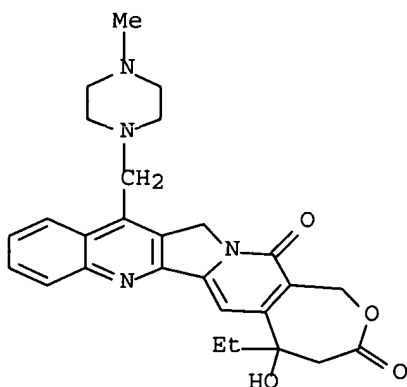
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morpholinylmethyl)- (9CI) (CA INDEX NAME)

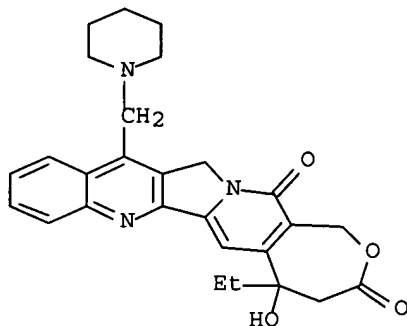


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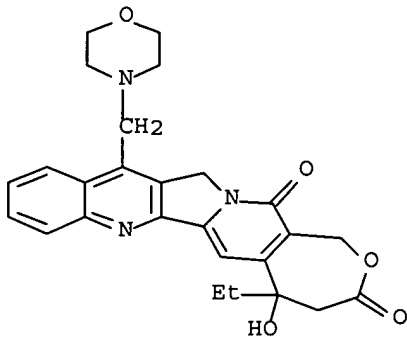
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5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-12-[(4-methyl-1-
piperazinyl)methyl]-
(9CI) (CA INDEX NAME)



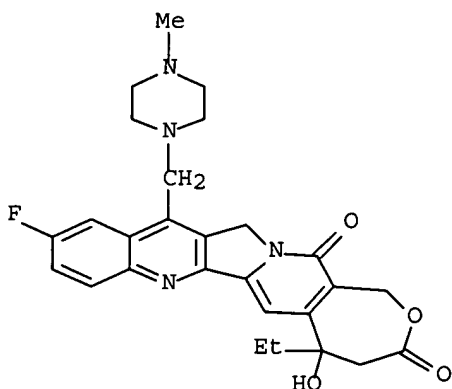
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 (CA INDEX NAME)



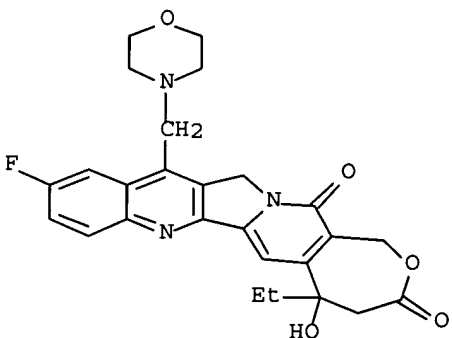
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 (CA INDEX NAME)



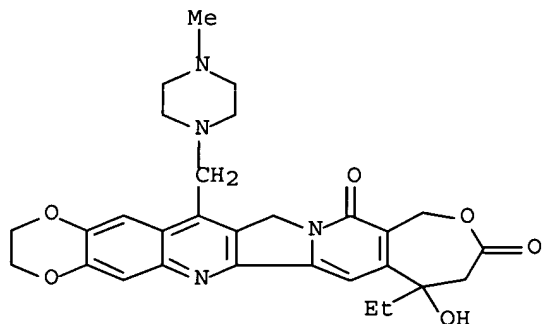
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 piperazinyl)methyl]- (9CI) (CA INDEX NAME)



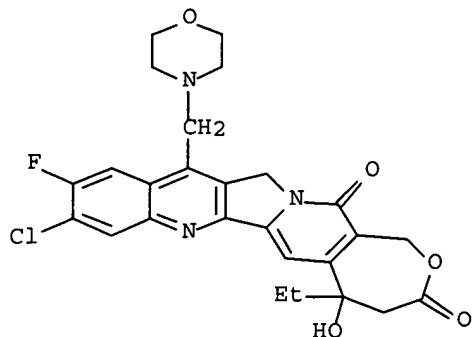
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 (9CI) (CA INDEX NAME)



RN 186669-16-9 CAPLUS
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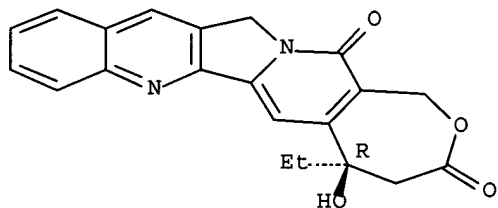


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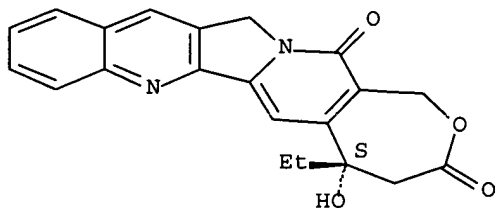
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Absolute stereochemistry.

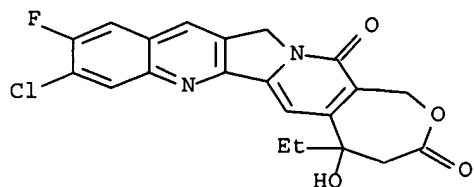


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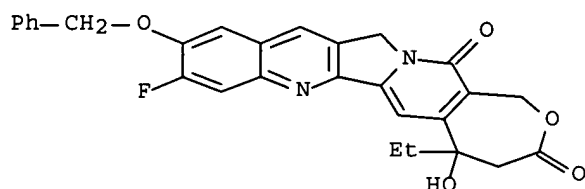
Absolute stereochemistry.



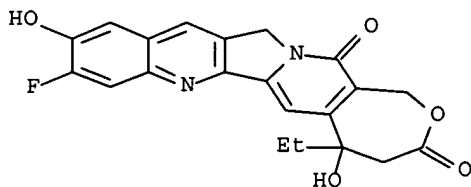
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 INDEX NAME)



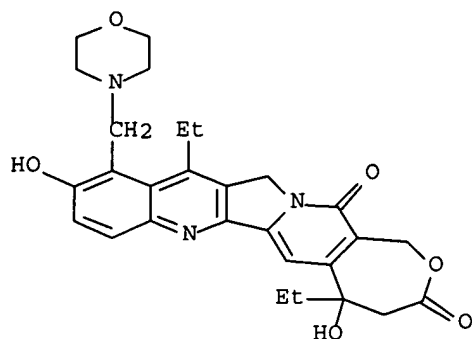
RN 209909-08-0 CAPLUS
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 (CA INDEX NAME)



RN 209909-09-1 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
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 NAME)

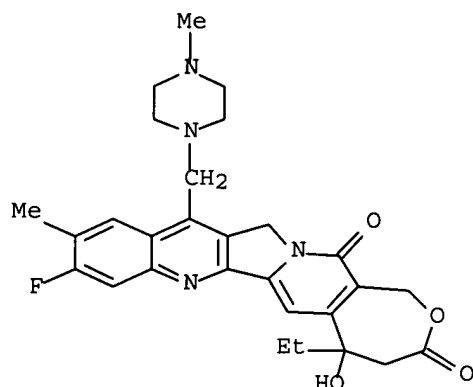


RN 210562-90-6 CAPLUS
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 (9CI) (CA INDEX NAME)



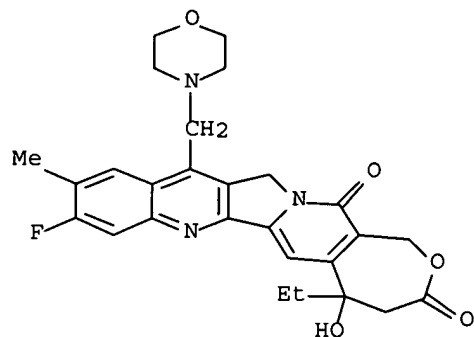
RN 210563-02-3 CAPLUS

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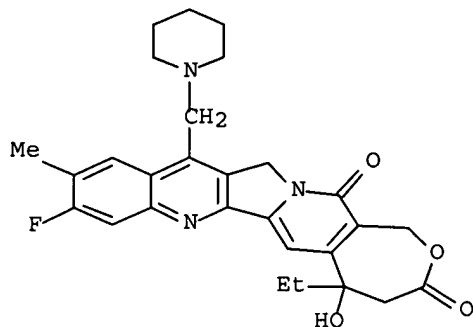


RN 210563-04-5 CAPLUS

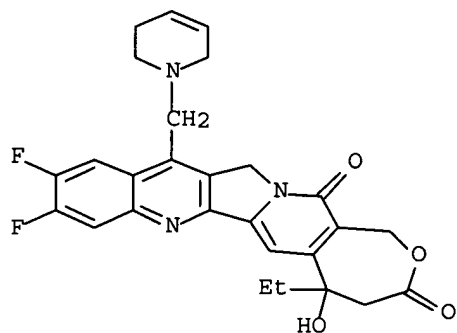
CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-(4-
morpholinylmethyl)- (9CI) (CA INDEX NAME)



RN 210563-06-7 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-(1-
 piperidinylmethyl)- (9CI) (CA INDEX NAME)

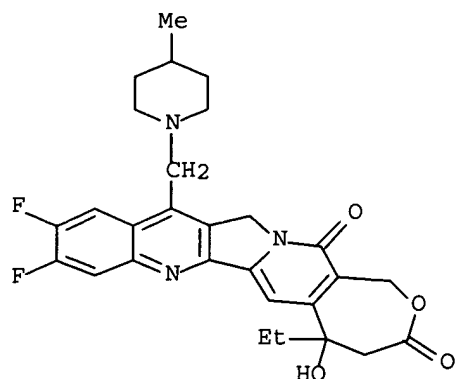


RN 210563-07-8 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 12-[(3,6-dihydro-1(2H)-pyridinyl)methyl]-5-ethyl-9,10-difluoro-1,4,5,13-
 tetrahydro-5-hydroxy-, monohydrochloride (9CI) (CA INDEX NAME)

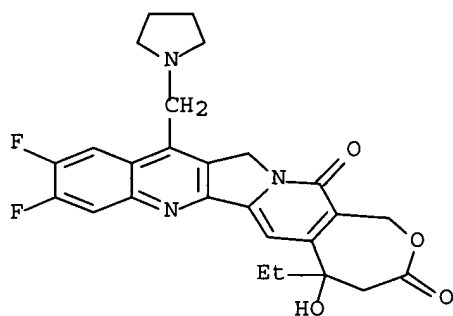


● HCl

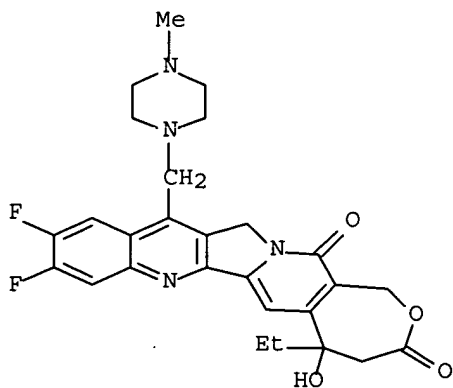
RN 210563-08-9 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 5-ethyl-9,10-difluoro-1,4,5,13-tetrahydro-5-hydroxy-12-[(4-methyl-1-
 piperidinyl)methyl]- (9CI) (CA INDEX NAME)



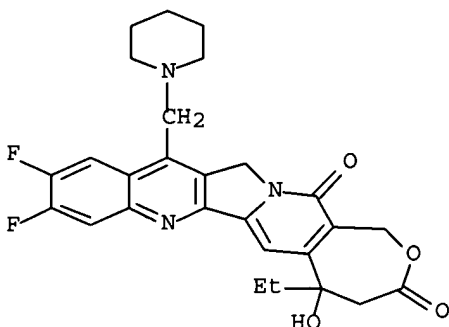
RN 210563-09-0 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 5-ethyl-9,10-difluoro-1,4,5,13-tetrahydro-5-hydroxy-12-(1-
 pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



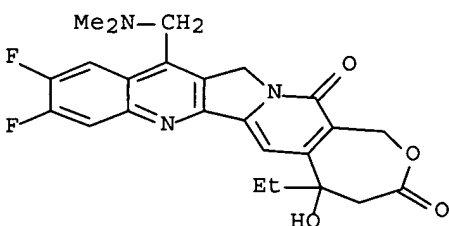
RN 210563-11-4 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 5-ethyl-9,10-difluoro-1,4,5,13-tetrahydro-5-hydroxy-12-[(4-methyl-1-
 piperazinyl)methyl]- (9CI) (CA INDEX NAME)



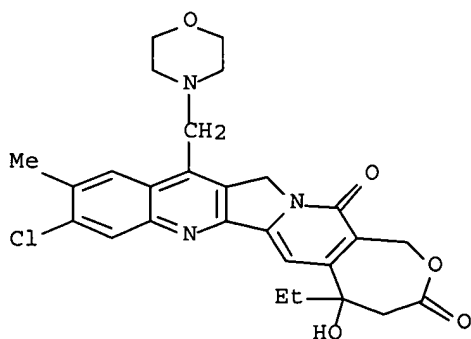
RN 210563-12-5 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 5-ethyl-9,10-difluoro-1,4,5,13-tetrahydro-5-hydroxy-12-(1-
 piperidinylmethyl)- (9CI) (CA INDEX NAME)



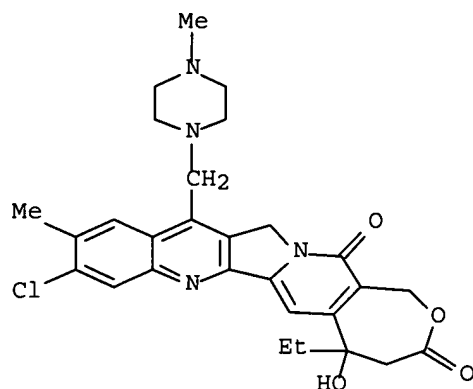
RN 210563-14-7 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 12-[(dimethylamino)methyl]-5-ethyl-9,10-difluoro-1,4,5,13-tetrahydro-5-
 hydroxy- (9CI) (CA INDEX NAME)



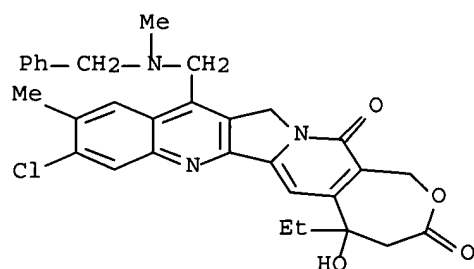
RN 210563-16-9 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 9-chloro-5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-(4-
 morpholinylmethyl)- (9CI) (CA INDEX NAME)



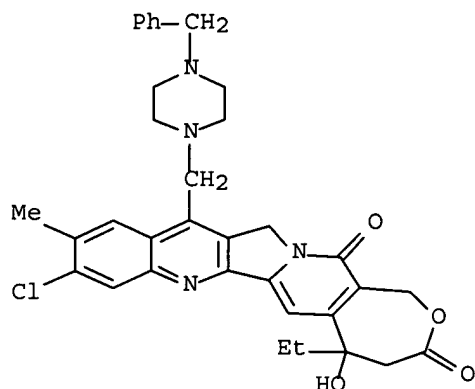
RN 210563-18-1 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 9-chloro-5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-[(4-methyl-1-
 piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 210563-20-5 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 9-chloro-5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-
 [[methyl (phenylmethyl) amino]methyl]- (9CI) (CA INDEX NAME)

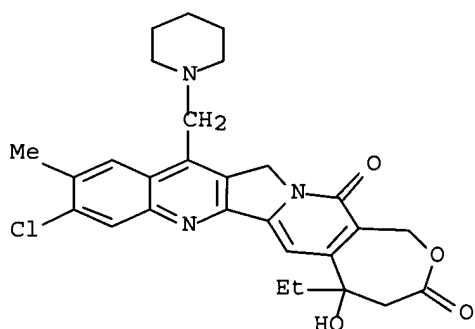


RN 210563-22-7 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 9-chloro-5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-[[4-
 (phenylmethyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



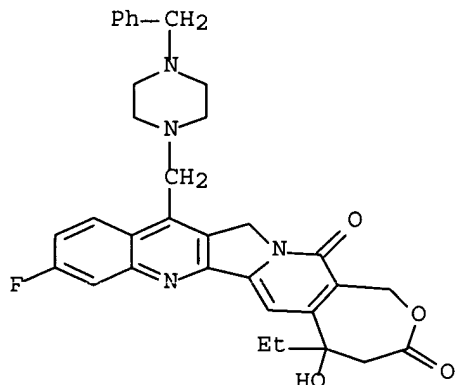
RN 210563-24-9 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
9-chloro-5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-(1-
piperidinylmethyl)- (9CI) (CA INDEX NAME)



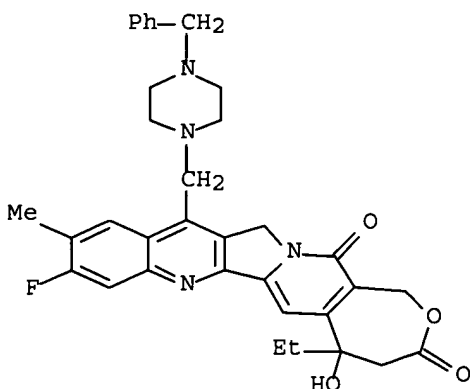
RN 210563-26-1 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-hydroxy-12-[[4-(phenylmethyl)-1-
piperazinyl]methyl]- (9CI) (CA INDEX NAME)



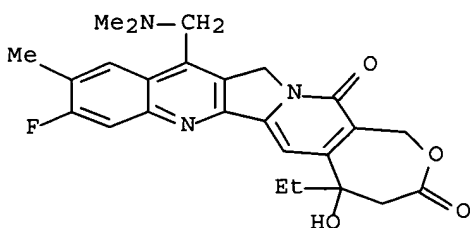
RN 210563-28-3 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-[[4-
(phenylmethyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



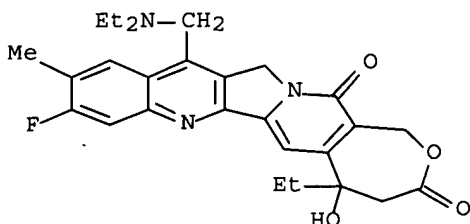
RN 210563-30-7 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
12-[(dimethylamino)methyl]-5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-
hydroxy-10-methyl- (9CI) (CA INDEX NAME)



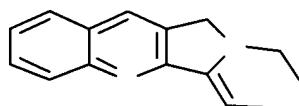
RN 210563-32-9 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
12-[(diethylamino)methyl]-5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-hydroxy-
10-methyl- (9CI) (CA INDEX NAME)



RN 210563-34-1 CAPLUS

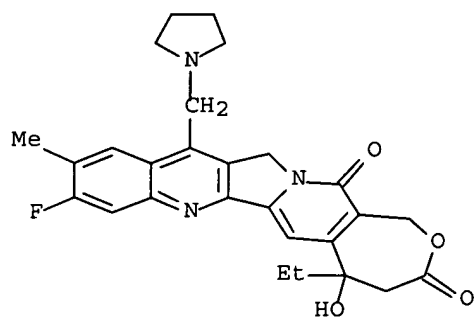
CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-[(4-methyl-1-
piperidinyl)methyl]- (9CI) (CA INDEX NAME)



RN 210563-35-2

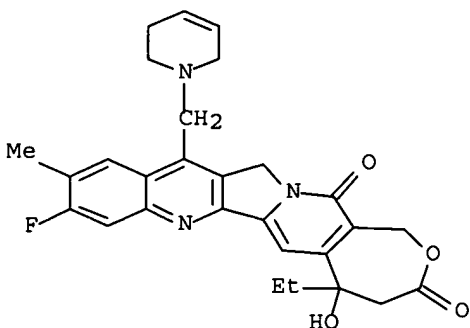
CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-(1-
pyrrolidinymethyl)- (9CI) (CA INDEX NAME)



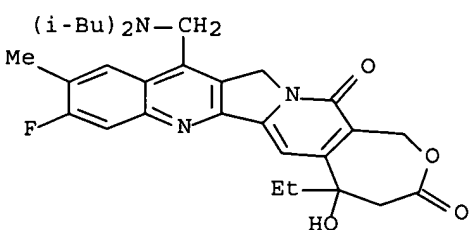
RN 210563-37-4 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
12-[(3,6-dihydro-1(2H)-pyridinyl)methyl]-5-ethyl-9-fluoro-1,4,5,13-
tetrahydro-5-hydroxy-10-methyl- (9CI) (CA INDEX NAME)



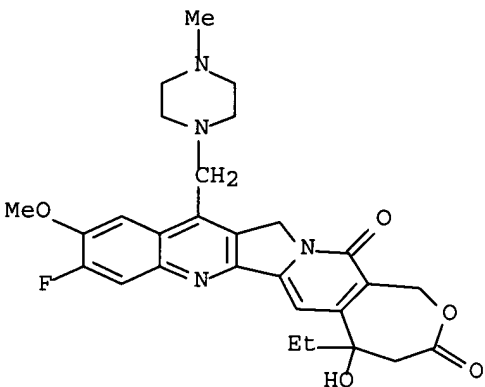
RN 210563-39-6 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
12-[[bis(2-methylpropyl)amino]methyl]-5-ethyl-9-fluoro-1,4,5,13-
tetrahydro-5-hydroxy-10-methyl- (9CI) (CA INDEX NAME)



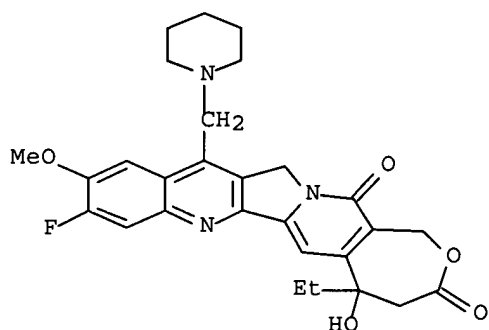
RN 210563-41-0 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-hydroxy-10-methoxy-12-[(4-methyl-
1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

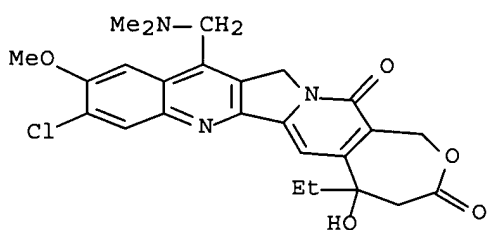


RN 210563-43-2 CAPLUS

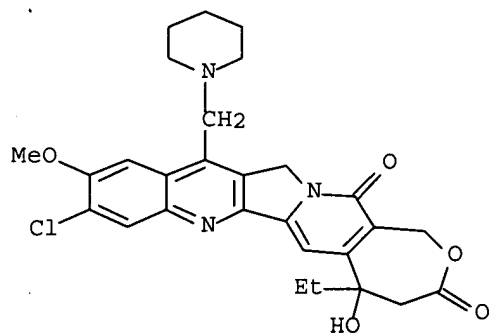
CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-9-fluoro-1,4,5,13-tetrahydro-5-hydroxy-10-methoxy-12-(1-
piperidinylmethyl)- (9CI) (CA INDEX NAME)



RN 210563-45-4 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 9-chloro-12-[(dimethylamino)methyl]-5-ethyl-1,4,5,13-tetrahydro-5-
 hydroxy-10-methoxy- (9CI) (CA INDEX NAME)

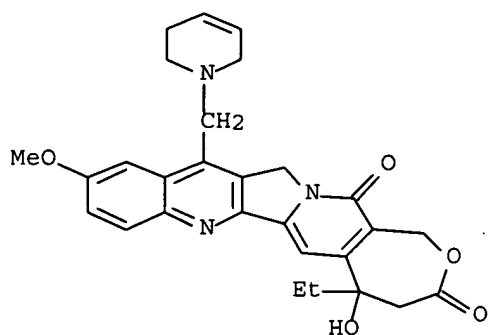


RN 210563-47-6 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 9-chloro-5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methoxy-12-(1-
 piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

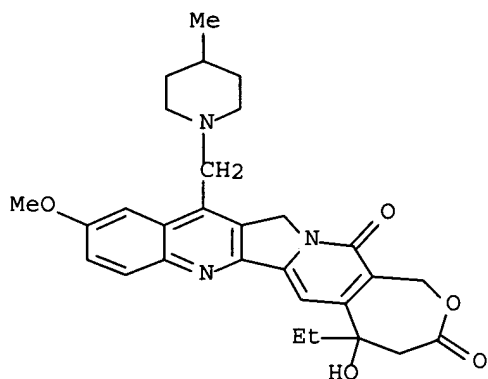
RN 210563-49-8 CAPLUS
 CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
 12-[(3,6-dihydro-1(2H)-pyridinyl)methyl]-5-ethyl-1,4,5,13-tetrahydro-5-
 hydroxy-10-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

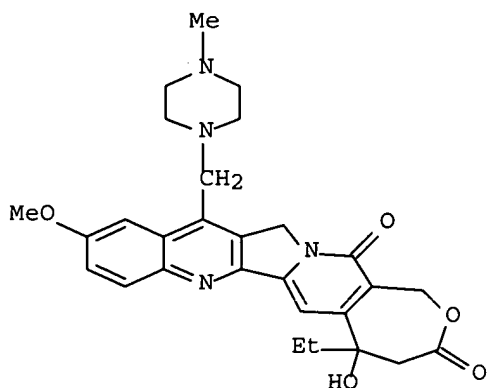
RN 210563-51-2 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methoxy-12-[(4-methyl-1-
piperidiny)methyl]- (9CI) (CA INDEX NAME)



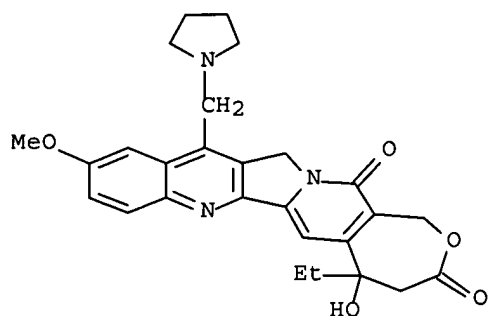
RN 210563-53-4 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methoxy-12-[(4-methyl-1-
piperazinyl)methyl]- (9CI) (CA INDEX NAME)



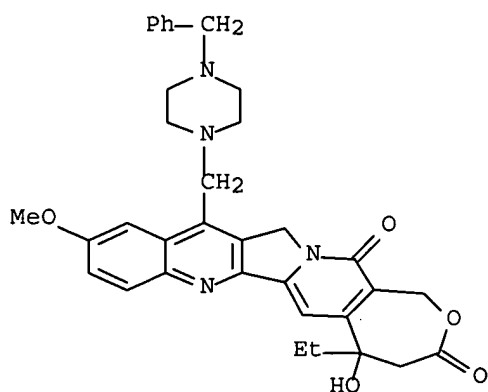
RN 210563-55-6 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methoxy-12-(1-
pyrrolidinylmethyl)-
(9CI) (CA INDEX NAME)



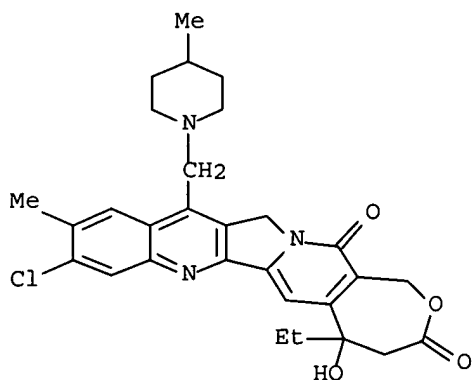
RN 210563-57-8 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methoxy-12-[[4-(phenylmethyl)-1-
piperazinyl]methyl]- (9CI) (CA INDEX NAME)



RN 210563-60-3 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
9-chloro-5-ethyl-1,4,5,13-tetrahydro-5-hydroxy-10-methyl-12-[(4-methyl-1-
piperidiny]methyl]- (9CI) (CA INDEX NAME)



IT 186668-66-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of camptothecin analogs as antitumors, antivirals, and
parasiticides)

RN 186668-66-6 CAPLUS

CN 3H,15H-Oxepino[3',4':6,7]indolizino[1,2-b]quinoline-3,15-dione,
11-[(dimethylamino)methyl]-5-ethyl-1,4,5,13-tetrahydro-5,10-dihydroxy-
(9CI) (CA INDEX NAME)

